

PATENT SPECIFICATION

NO DRAWINGS

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COMPLETE SPECIFICATION

Improvements in or relating to Aerosol Foams

We, VANTOREX LIMITED, formerly known as Riker Laboratories Limited, a British Company, of Morley Street, Loughborough, Leicestershire, do hereby declare the invention for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

10 This invention is for improvements in or relating to foamable aerosol compositions and has for an object to provide an aerosol foam which is of very wide utility in a variety of fields.

15 The production of aerosol foams on the basis of an aqueous medium is well-known but there are a number of situations where the absence of water would be highly desirable; for instance, in certain cosmetic or external pharmaceutical uses where the avoidance of water would be highly desirable.

20 We have now discovered that we can produce an entirely non-aqueous foamable aerosol composition which will produce a stable foam which retains its consistency for a considerable period of time and which, furthermore, will withstand a moderate degree of handling without collapsing.

30 According to the present invention, therefore, there is provided a liquid non-aqueous foamable aerosol composition which comprises an emulsifying or foaming agent, a liquefied inert gas as a propellant and a non-aqueous liquid which is immiscible with the propellant and is emulsified therewith. The propellant 35 may be any of the commonly used substances, for example dichlorodifluoro-methane or dichlorotetrafluoro-ethane, or other like compounds which are liquid under pressure but vaporise rapidly at atmospheric pressure. For 40 the best results, it is desirable to incorporate a foam stabiliser in the aerosol composition,

said stabiliser preferably being insoluble in the non-aqueous liquid. The foam stabiliser may be either a single compound or a mixture of two or more such compounds. 45

The non-aqueous liquid may itself be useful for the intended end purpose, for example where the non-aqueous liquid is a lubricating oil, the composition can be employed for introducing small quantities of the lubricant into inaccessible places whilst avoiding the introduction of unwanted moisture to the surfaces to be lubricated. 50

A wide variety of medicaments may be dissolved in the non-aqueous liquid whilst, alternatively, cosmetic substances or other materials, such as anti-corrosive substances, may be dissolved in the said liquid, depending upon the uses to which the foam is to be applied. 55 60

In order to produce foams in the most desirable manner, it is preferred that the propellant should be emulsified in the non-aqueous liquid as the discontinuous phase. In order to yield a foam of the required consistence and degree of permanence, it is preferred that the propellant concentration should be within a certain range. The preferred composition comprises from 10 to 30% by weight of the propellant, the remainder of the composition being composed of the non-aqueous liquid, the emulsifying or foaming agent, the foam stabiliser and the medicament, cosmetic material or other active ingredient. 65 70 75

Amongst the non-aqueous liquids immiscible with the propellant which may be used, there may be mentioned a wide variety of liquids, including polyhydric alcohols for example propylene glycol, trimethyleneglycol, glycerin, the polyethylene glycols (particularly that known as polyethylene glycol 300) and mix- 80

- tures thereof, ethers and esters of glycols for example diethylene glycol monoethyl ether, aromatic alcohols for example benzyl and cinnamyl alcohols, phenols, e.g., phenol, the cresols and the xylenols, ethylene chlorohydrin, the thioglycols for example thiodiglycol, the alkanolamines for example triethanolamine, formamide and certain aldehydes for example cinnamaldehyde. In general, any non-aqueous liquid immiscible with the propellant and having physical, chemical and therapeutic properties appropriate for the intended use of the aerosol can be employed.

- A wide variety of anionic, cationic and non-ionic emulsifying or foaming agents may be used, in particular, the substances may vary depending upon the nature of the non-aqueous solvent chosen, suitable compounds including the organic acid salts of the alkanolamines for example triethanolamine, e.g., the long chain saturated and unsaturated fatty acid salts for example the oleates, stearates, laurates and palmitates; the long chain saturated and unsaturated fatty acid mono-esters of glycols, for example ethylene and propylene glycol mono-stearates, mono-oleates and mono-palmitates; the mono- and poly- esters of hexitans, for example sorbitan trioleate and tristearate; and the mono- esters of hexitan-alkylene oxide condensation products for example sorbitan polyethanoxy mono-oleate and mono-stearate.

- A wide variety of foam-stabilising compounds may be employed, the particular nature of the compound used depending upon the date of breakdown of the foam which may be required having regard to the intended use of the product; thus a stable foam may be required for the application of a wound dressing whilst a quick breaking foam may be required for applying a uniform coating of a non-aqueous liquid to an irregular or inaccessible surface such as the middle ear. The particular foam-stabilising agent employed will vary with the non-aqueous liquid in the composition and its concentration or the use of a mixture of two or more stabilisers may be varied depending upon the ultimate characteristics of the foam required. A variety of foam stabilising compounds are suitable and, as examples there may be mentioned alkanolamides, cetostearyl alcohol, glyceryl monostearate, lanolin, long chain fatty acid derivatives of mono-, di or triethanolamine, petroleum oil, petroleum jelly, polyethylene glycol esters of long chain fatty acids, the polyvinylpyrrolidones having molecular weights of 100,000 to 400,000 and the sulphated alcohol amides.

- The following examples are of specific formulations in accordance with the invention.

EXAMPLE 1

	% w/w	
Polyethylene glycol (m.w. 300) -	71.0	65
Triethanolamine oleate -	4.0	
Polyvinylpyrrolidone (m.w. 300,000) -	1.0	
Cetostearyl alcohol -	4.0	
Dichlorodifluoromethane -	20.0	

EXAMPLE 2

	% w/w	
Propylene glycol -	76.5	70
Triethanolamine stearate -	4.0	
Cetostearyl alcohol -	4.0	
Polyvinylpyrrolidone (m.w. 300,000) -	0.5	
Dichlorodifluoromethane -	15.0	

EXAMPLE 3

	% w/w	
Polyethylene glycol (m.w. 300) -	71.5	80
Polyvinylpyrrolidone (m.w. 300,000) -	0.5	
Cetostearyl alcohol -	4.0	
Polyoxyethylene sorbitan mono-oleate -	2.4	
Polyoxyethylene sorbitol bees-wax derivative -	1.6	
Dichlorodifluoromethane -	20.0	

EXAMPLE 4

	% w/w	
Polyethylene glycol (m.w. 300) -	67.5	90
Cetostearyl alcohol -	4.0	
Sorbitan trioleate -	2.4	
Polyoxyethylene sorbitan mono-oleate -	5.6	
Polyvinylpyrrolidone (m.w. 300,000) -	0.5	
Dichlorodifluoromethane -	20.0	

EXAMPLE 5

	% w/w	
Glycerin -	81.0	100
Triethanolamine oleate -	4.0	
Dichlorotetrafluoroethane -	6.0	
Dichlorodifluoromethane -	9.0	

EXAMPLE 6

	% w/w	
Triethanolamine -	77.5	105
Cetostearyl alcohol -	2.0	
Polyvinylpyrrolidone (m.w. 300,000) -	0.5	
Dichlorodifluoromethane -	16.0	
Dichlorotetrafluoroethane -	4.0	

EXAMPLE 7

	% w/w	
Polyethylene glycol (m.w. 300) -	84.91	115
Propylene glycol monostearate -	3.32	
Dichlorodifluoromethane -	11.77	

EXAMPLE 8

		% w/w
	Ascorbic acid - - -	1.5
	Propylene glycol monostearate - - -	2.92
5	Propylene glycol - - -	84.21
	Alcoholic sodium hydroxide solution to give - - -	pH 6
	Dichlorodifluoromethane - - -	11.37

EXAMPLE 9

		% w/w
	Neomycin sulphate - - -	0.35
	Sorbitan trioleate - - -	2.40
	Polyoxyethylene sorbitan mono-oleate - - -	4.80
15	Polyethylene glycol (m.w. 300) - - -	77.45
	Dichlorodifluoromethane - - -	15.00

EXAMPLE 10

		% w/w
	Heparin - - -	75000 units
20	Sorbitan trioleate - - -	2.4
	Polyoxyethylene sorbitan mono-oleate - - -	4.8
	Polyethylene glycol (m.w. 300) - - -	77.0
	Dichlorodifluoromethane - - -	15.0

25 The advantages of the present invention arise from the fact that a non-aqueous aerosol foam is made available for the first time which makes it possible to incorporate medicaments or other active ingredients which are either unstable or insoluble in water. The invention makes it possible to produce stable foams which are so viscous as to be substantially non-flowing and a relatively small quantity of the material can be introduced into a cavity so that, when the foam breaks, a uniform coating of the surface of the cavity is achieved without there being any surplus material to be drained away or otherwise removed.

40 The non-aqueous foamable aerosol compositions of the present invention are particularly useful as a vehicle for water labile products such as certain antibiotics, proteolytic enzymes and vitamins, as a vehicle for wound, burn or ulcer dressing medicaments, providing a non-adhesive dressing, as a vehicle for material which will remove plastic dressings,

and as a vehicle for anti-inflammatory substances such as corticosteroids, sulphonated polysaccharides and salts of glycyrrhetic acid. 50

WHAT WE CLAIM IS:—

1. A liquid non-aqueous foamable aerosol composition which comprises an emulsifying or foaming agent, a liquefied inert gas as a propellant and a non-aqueous liquid which is immiscible with the propellant and is emulsified therewith. 55

2. A composition according to Claim 1 in which the propellant forms the discontinuous phase of the emulsion. 60

3. A composition according to either of Claims 1 or 2 which also includes a foam stabiliser.

4. A composition according to Claim 3 in which the foam stabiliser is insoluble in the non-aqueous liquid. 65

5. A composition according to any one of the preceding claims in which the propellant is present in an amount of 10 to 30% by weight of the total composition. 70

6. A composition according to any one of the claims 1 to 5 in which the non-aqueous liquid is glycerin, propylene glycol, a polyethylene glycol or other polyhydric alcohol or a mixture of any of these. 75

7. A composition according to any one of Claims 1 to 5 in which the non-aqueous liquid is a lubricating oil.

8. A composition according to any one of Claims 1 to 6, which also includes, dissolved in the non-aqueous liquid, a medicament, a cosmetic substance or an anti-corrosive substance. 80

9. An aerosol composition according to Claim 8, in which the medicament is one or more antibiotics, proteolytic enzymes, vitamins or sulphonated polysaccharides. 85

10. A liquid non-aqueous foamable aerosol composition substantially as hereinbefore described with reference to any of the examples. 90

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